CLEAN COPY OF AMENDED CLAIMS

1. (Thrice Amended) A compound of formula I or the racemates, diastereoisomers or optical isomers thereof:

$$B = \begin{bmatrix} P_{1} & P_{2} & P_{3} & P_{2} & P_{1} \\ \vdots & \vdots & \vdots & \vdots \\ R_{6} & A & P_{3} & P_{4} & P_{5} & P_{4} \end{bmatrix}$$

$$Q = \begin{bmatrix} P_{1} & P_{2} & P_{1} \\ \vdots & \vdots & \vdots \\ R_{4} & P_{3} & P_{4} & P_{5} & P_{4} \end{bmatrix}$$

$$W = \begin{bmatrix} P_{1a} & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ P_{1a} & P_{1a} & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a} & P_{1a} \\ \vdots & \vdots & \vdots \\ Q & P_{1a$$

wherein Q is CH₂ or N-Y wherein Y is H or C₁₋₆ alkyl;

a) when Q is CH₂, a is 0, b is 0, and B is an amide derivative of formula $R_{11a}N(R_{11b})$ -C(O)-wherein R_{11a} is H; C_{1-10} alkyl; C_6 aryl; C_{7-10} alkylaryl; C_{3-7} cycloalkyl or C_{4-8} (alkylcycloalkyl) optionally substituted with carboxyl: or heterocycle- C_{1-6} alkyl;

and R_{11b} is C_{1-6} alkyl substituted with carboxyl, (C_{1-6} alkoxy)carbonyl or phenylmethoxycarbonyl; or C_{7-16} aralkyl substituted on the aromatic portion with carboxyl, (C_{1-6} alkoxy)carbonyl or phenylmethoxycarbonyl;

or R_{11a} and R_{11b} are joined to form a 3 to 7-membered nitrogen-containing ring optionally substituted with carboxyl or (C_{1-6} alkoxy) carbonyl;

or

b) when Q is N-Y, a is 0 or 1, b is 0 or 1, and

B is an acyl derivative of formula R_{11} -C(O)- or a sulfonyl of formula R_{11} -SO₂ wherein

 R_{11} is (i) C_{1-10} alkyl optionally substituted with carboxyl or C_{1-6} alkanoyloxy; C_{1-6} alkoxy; or carboxyl substituted with 1 to 3 C_{1-6} alkyl substituents;

- (ii) $C_{3,7}$ cycloalkyl or $C_{4,10}$ alkylcycloalkyl, both optionally substituted with carboxyl, $(C_{1,0}$ alkoxy)carbonyl or phenylmethoxycarbonyl;
- (iii) C_6 or C_{10} aryl or C_{7-16} aralkyl optionally substituted with C_{1-6} alkyl, hydroxy, or amino optionally substituted with C_{1-6} alkyl; or
- (iv) Het optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl, or amido optionally substituted with C_{1-6} alkyl,

HOOC-(
$$C_{1-6}$$
alkyl)-N NCOO-(aryl or C_{1-6} alkylaryl)

 R_6 , when present, is C_{1-6} alkyl substituted with carboxyl;

 R_5 , when present, is C_{1-6} alkyl optionally substituted with carboxyl; and

c) when Q is either CH2 or N-Y, then

 R_4 is C_{1-10} alkyl, C_{3-7} cycloalkyl or C_{4-10} (alkylcycloalkyl);

z is oxo or thioxo;

 R_3 is C_{1-10} alkyl optionally substituted with carboxyl, C_{3-7} cycloalkyl or C_{4-10} (alkylcycloalkyl); W is a group of formula II:

wherein R_2 is C_{1-10} alkyl or C_{3-10} cycloalkyl optionally substituted with carboxyl or an ester or amide thereof; C_6 or C_{10} aryl or C_{7-16} aralkyl; or

W is a group of formula IIa:

wherein X is CH or N; and

 R_{2a} is divalent $C_{3.4}$ alkylene which together with X and the carbon atom to which X and R_{2a} are attached form a 5- or 6-membered ring, said ring optionally substituted with OH; SH; NH₂; carboxyl; R_{12} ; CH_2 - R_{12} , OR_{12} , $C(O)OR_{12}$, SR_{12} , NHR_{12} or $NR_{12}R_{12a}$;

wherein R_{12} and R_{12a} are independently a saturated or unsaturated C_{3-7} cycloalkyl or C_{4-10} (alkyl cycloalkyl) being optionally mono-, di- or tri-substituted with R_{15} ,

or R_{12} and R_{12a} is a C_6 or C_{10} aryl or $C_{7\text{-}16}$ aralkyl optionally mono-, di- or tri-substituted with R_{15} , or R_{12} and R_{12a} is Het or (lower alkyl)-Het optionally mono-, di- or tri-substituted with R_{15} ,

wherein each R₁₅ is independently C₁₋₆ alkyl; C₁₋₆ alkoxy; amino optionally

mono- or di-substituted with C₁₋₆ alkyl; sulfonyl; NO₂; OH; SH; halo; haloalkyl; amido optionally mono-substituted with C₁₋₆ alkyl, C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, Het or (lower alkyl)-Het; carboxyl; carboxy(lower alkyl); C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl or Het, said aryl, aralkyl or Het being optionally substituted with R₁₆; wherein R₁₆ is C₁₋₆ alkyl; C₁₋₆ alkoxy; amino optionally mono- or disubstituted with C₁₋₆ alkyl; sulfonyl; NO₂; OH; SH; halo; haloalkyl; carboxyl; amide; or (lower alkyl)amide;

or X is CH or N; and R_{2a} is a divalent C_{3-4} alkylene which together with X and the carbon atom to which X and R_{2a} are attached form a 5- or 6-membered ring which in turn is fused with a second 5-, 6- or 7-membered ring to form a bicyclic system wherein the second ring is substituted with OR_{12a} , wherein R_{12a} is C_{7-16} aralkyl;

 R_{1a} is hydrogen, and R_1 is the side chain of an amino acid selected from the group consisting of cysteine (Cys), aminobutyric acid (Abu), norvaline (Nva) and allylglycine (AlGly); or R_{1a} and R_1 together form a 3- to 6-membered ring optionally substituted with R_{14} wherein R_{14} is C_{1-6} alkyl, C_{3-5} cycloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_6 aryl or C_{7-10} aralkyl all optionally substituted with halo; and

A is hydroxy; or C_{1-6} alkylamino, di(C_{1-6} alkyl)amino or phenyl- C_{1-6} alkylamino; wherein Het is a five-, six-, or seven-membered saturated or unsaturated, including aromatic, heterocycle containing from one to four heteroatoms selected from nitrogen, oxygen and sulfur, which heterocycle is optionally fused to a benzene ring; or a non-toxic salt or ester thereof.

40. (Amended) A compound of formula (IA) or the racemates, diastereoisomers or optical isomers thereof.

wherein Y is H or C_{1-6} alkyl;

a is 0 or 1;

b is 0 or 1;

B is as defined in claim 1, paragraph b);

 $R_6,\,R_5,\,R_4,\,z,\,R_3,\,W,\,R_1,\,R_{1a}$ and A are as defined in claim 1.

45. (Twice Amended) A compound of formula IB or the diastereoisomers, optical isomers, racemic mixture of diastereoisomers or racemic mixture of optical isomers thereof:

$$B = \begin{bmatrix} P_{5} & P_{4} & P_{3} & P_{2} & P_{1} \\ \vdots & \vdots & \vdots & \vdots \\ R_{6} & A & P_{5} & P_{4} & P_{3} & P_{2} & P_{1} \\ \vdots & \vdots & \vdots & \vdots & \vdots \\ R_{13} & \vdots & \vdots & \vdots \\ R_{14} & \vdots & \vdots & \vdots \\ R_{15} & \vdots & \vdots & \vdots \\ R_{14} & \vdots & \vdots & \vdots \\ R_{15} & \vdots & \vdots & \vdots \\ R_{14} & \vdots & \vdots & \vdots \\ R_{15} & \vdots & \vdots & \vdots \\ R_{14} & \vdots & \vdots & \vdots \\ R_{15} & \vdots & \vdots$$

wherein

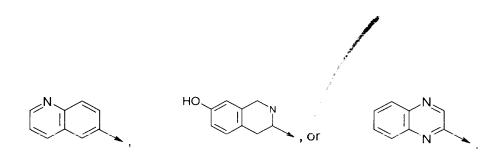
B, a, b, R_6 , R_5 , Y, R_4 , Z, R_3 , and A are as defined in claim 1,

 R_{13} is R_{12} , OR_{12} , $C(O)OR_{12}$, SR_{12} , NHR_{12} or $NR_{12}R_{12a}$ wherein R_{12} and R_{12a} are as defined in claim 1; and

 R_{14} is C_{1-6} alkyl, C_{2-6} alkenyl optionally substituted with halogen; C_{6-10} aryl or C_{7-10} aralkyl optionally substituted with halogen; or a non-toxic salt or ester thereof.

47. (Amended) The compound of formula IB according to claim 45, wherein B is an acyl derivative of formula $R_{11}C(O)$ - wherein R_{11} is C_{1-6} all vyl; C_{1-6} alkoxy; C_{3-7} cycloalkyl optionally substituted with hydroxy; amido optionally substituted with C_{1-6} alkyl or Het; C_6 or C_{10} aryl, C_{7-16} aralkyl or Het all optionally substituted with C_{1-6} alkyl or hydroxy.

48. (Amended) The compound of formula IB according to claim 47, wherein B is $R_{11}C(O)$ -wherein R_{11} is C_{1-6} alkyl,



49. (Amended) The compound of formula IB according to claim 48, wherein B is acetyl;

$$(1) \quad (1) \quad (1)$$

59. (Amended) The compound of formula IB according to claim 58, wherein P1 exists as a racemic mixture of diastereoisomers wherein R₁₄ at position 2 is orientated *syn* to the carbonyl at position 1, represented by the radical:

$$R_{14}$$
 or R_{14} and R_{14} R_{15} R_{14} R_{15} R_{15} R_{16} R_{17} R_{18} R_{19} $R_{$

60. (Amended) The compound of formula IB according to claim 58, wherein P1 exists as a racemic mixture of diastereoisomers wherein R₁₄ at position 2 is orientated *anti* to the carbonyl at position 1, represented by the radical:

72. (Amended) A compound of formula (I):

wherein B, P6, P5, P4, P3, W and P1 are as defined below, said compound selected from the group consisting of:

group c	onsisting					14/	P1	SEQ ID
Comp	В	Р6	P5	P4	P3	W	FI	NO.
		Aan	Asp	lle	Val	Pro	Cys;	8
101	Ac	Asp	•	lle	Val	Pro	Cys;	9
102	Ac	Glu	Asp			Pro	Cys;	10
103	DAD		Asp	lle 	Val		Cys;	-
104	Ac	Asp	D-Asp	lle	Val	Pro	•	-
105	Ac	Asp	D-Glu	lle	Val	Pro -	Cys;	11
106	Ac	Asp	Glu	lle	Val	Pro	Cys;	
107	Ac	Asp	Val	lle	Val	Pro	Cys;	12
108	Ac	Asp	Tbg	11e	Val	Pro	Cys;	13
109	Ac	Asp	Asp	Val	Val	Pro	Cys;	14
110	Ac	Asp	Asp	Chg	Val	Pro	Cys;	15
111	Ac	Asp	Asp	Tbg	Val	Pro	Cys;	16
112	Ac	Asp	Asp	Leu	Val	Pro	Cys;	17
113	Ac	Asp	Asp	lle	lle	Pro	Cys;	18
114	Ac	Asp	Asp	lle	Chg	Pro	Cys;	19
115	Ac	Asp	Asp	lle	Val	Abu	Cys;	20
116	Ac	Asp	Asp	lle	Val	Leu	Cys;	21
117	Ac	Asp	Asp	lle	Val	Phe	Cys:	22
118	Ac	Asp	Asp	lle	Val	Val	Cys;	23
119	Ac	Asp	Asp	lle	Val	lle	Cys;	24
120	Ac	Asp	Asp	lle	Val	Ala	Cys;	25
121	Ac	Asp	Asp	lle	Val	Hyp(4-Bn)	Cys;	26
122	Ac	Asp	Asp	lle	Val	Pro	Abu;	27
123	Ac	Asp	Asp	lle	Val	Pro	Nva;	28
124	Ac	Asp	Asp	lle	Val	Pro	AlGly;	29
125	Ac	Asp	Asp	lle	Val	Pro	Acpe;	30
123	70	, top	,					

Comp	В	P6	P5	P4	Р3	W	P1	SEQ ID
								NO.
126	Ac	Asp	Asp	lle	Val	Pro	Acca;	31
127	Ac	Asp	Asp	lle	Val	Pip	Nva;	32
128	Ac	Asp	D-Glu	lle	Val	Pro	Nva;	-
129	Ac	Asp	Tbg	lle	Val	Pro	Nva;	33
130	DAD		Asp	lle	Val	Pro	Nva;	34
131	Ac	Asp	Glu	Chg	Glu	Glu	Cys;	35
132	Ac	Asp	D-Glu	Chg	Glu	Glu	Acca;	-
and								36
133	Ac	Asp	Glu	Chg	Val	Glu(OBn)	Acca.	

73. (Amended) A compound of formula (I):

wherein B, P6, P5, P4, P3, R_{13} and P1 are as defined below, said compound selected from the group consisting of:

Comp.	В	P6	P5	P4	P3	R ₁₃	P1	SEQ ID
								NO.
201	Ac	Asp	Asp	lle	Val	O-Bn	Nva;	37
202	Ac	Asp	D-Val	lle	Val	O-Bn	Nva;	-
203	Ac	Asp	D-Glu	lle	Val	O-Bn	Nva;	-
204	Ac	Asp	Asp	lle	Val	o-tolyl-methoxy	Nva;	38
205	Ac	Asp	Asp	lle	Val	m-tolyl-methoxy	Nva;	39
206	Ac	Asp	Asp	lle	Val	p-tolyl-methoxy	Nva;	40
207	Ac	Asp	Asp	lle	Val	1-NpCH ₂ O	Nva;	41
208	Ac	Asp	Asp	lle	Val	2-NpCH ₂ O	Nva;	42
209	Ac	Asp	Asp	lle	Val	4-tert-butyl-phenyl)-	Nva;	43

Comp.	В	P6	P5	P4	РЗ	R ₁₃	P1	SEQ ID
								NO.
						methoxy		
210	Ac	Asp	D-Glu	Chg	Val	O-Bn	Cys;	-
211	Ac	Asp	D-Glu	Chg	Val	O-Bn	Nva;	-
212	Ac	Asp	D-Glu	lle	Val	O-Bn	Acca;	-
213	Ac	Asp	D-Glu	lle	Val	2-NpCH ₂ O	Nva;	-
214	Ac	Asp	D-Glu	Chg	Val	2-NpCH ₂ O	Nva;	-
215	Ac	Asp	D-Glu	Chg	Val	1-NpCH ₂ O	Acca;	-
216	Ac	Asp	Asp	lle	Val	Bn	Nva;	44
217	Ac	Asp	Asp	lle	Val	Ph(CH ₂) ₃	Nva;	45
218	Ac	Asp	D-Glu	lle	Val	O-Bn	Nva;	-
219	Ac		Asp	lle	Val	1-NpCH ₂ O	Nva;	46
220	DAD			N(Me)lle	Val	1-NpCH₂O	Nva;	-
221	DAD			lle	Val	1-NpCH ₂ O	Nva;	-
222	DAE			lle	Val	1-NpCH₂O	Nva;	-
223	но			lle	Val	1-NpCH ₂ O	Nva;	-
224	но			lle	Val	1-NpCH ₂ O	Nva;	-
225	Ac			lle	Val	1-NpCH₂O	Nva;	-
226	DAE			Chg	Val	1-NpCH₂O	Acca;	-
227	Ac			Chg	Val	1-NpCH ₂ O	Acca;	-
228	Ac			Chg	Val	O-Bn	, p ;	-
230	Ac	Asp	Asp	lle	Val	Ph(CH ₂) ₃	Nva;	47
231	Ac			Chg	Chg	1-NpCH ₂ O	Acca;	
232	AcOCH ₂ - C(O)			Chg	Chg	1-NpCH ₂ O	Acca;	-
233	Ac	Asp	Glu	lle	Val	(3I-Ph) CH ₂ O	Acca;	48
234	Ac			Chg	Chg	O-Bn	Acca;	-
235	Boc			Chg	Chg	1-NpCH ₂ O	Acca;	-
236	Ac		Gly	Thioxo-lle	Val	1-NpCH₂O	Nva;	-

Comp.	В	P6	P5	P4	P3	R ₁₃	P1	SEQ ID
								NO.
237	DAE			lle	Val	1-NpCH ₂ O	Acca;	-
238	Ac			Chg	Val	(4Br-Ph)O	Acca;	-
239	Ac			Chg	Val	(2Br-Ph)O	Acca;	-
240	Ac			Chg	Val	(3Br-Ph)O	Acca;	-
241	Ac			Chg	Val	N s	Acca;	-
242	Ac			Chg	Val	(4Br-Ph)S	Acca;	-
243	Ac			Chg	Val	O N Br	Acca;	-
244	Ac			Chg	Val	S CF,	Acca;	-
245	Ac			Chg	Val	O CF ₃	Acca;	-
246	Ac			Chg	Val	O—————————————————————————————————————	Acca;	-
247	Ac	Asp	Asp	lle	Val	Ph(CH ₂) ₂	Nva;	49
248	Ac			Chg	Chg	CH ₂ O	Acca;	-
249	Ac			Chg	Val	(4I-Ph)O	Acca;	-
250	Ac			Chg	Val	C	Acca;	-
251	Ac			Chg	Val	HO N C(0)0 N	Acca;	-
252	Ac			Chg	Val	1-NpCH ₂ O	Nva;	-
253	Ac			Chg	Val	С(0)ОН	Acca;	-

Comp.	В	P6	P5	P4	P3	R ₁₃	P1	SEQ ID
254	Ac			Chg	Val	MeC(O)	Acca;	-
255	Ac			Chg	Val	NO ₂	Acca;	-
256	Ac			Chg	Val	N N N N N N N N N N N N N N N N N N N	Acca;	-
257	Ac			Chg	Val	S CI	Acca;	-
258	Ac			Chg	Val	0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0	Acca;	-
259	Ac			Chg	Val	Me N F	Acca;	-
260	Ac	Asp	D-Glu	lle	Val	O-Bn	Cys;	-
261	Ac			Chg	Val	O-Bn	Cys;	-
262	Ac			lle	Val	1-NpCH ₂ O	Acca;	-
263	HOOC Me Me × Me			lle	Val	1-NpCH ₂ O	Acca;	-
264	Bno co Laco			lle	Val	1-NpCH ₂ O	Acca;	-
265	= - \ Bracc → \			lle	Val	1-NpCH ₂ O	Acca:	-
266	HOCC M CC			lle	Val	1-NpCH ₂ O	Acca:	-
267	H000m/			lle	Val	1-NpCH ₂ O	Acca;	-
268	Ac			Chg	Val	(3Br-Ph)CH ₂ O	Acca;	-

Comp.	В	P6	P5	P4	РЗ	R ₁₃	P1	SEQ ID
				j				NO.
269	Br0000-00			Chg	Val	1-NpCH ₂ O	Acca;	-
270	HOOCINCO			Chg	Val	1-NpCH ₂ O	Acca;	-
271	COOH CH2 N CO CO OBn			Chg	Val	1-NpCH ₂ O	Acca;	-
272	Ac			Chg	Val	(3,5-Br ₂ -Ph)CH ₂ O	Acca;	-
273	Ac	Asp	Asp	lle	Val	Н	Nva;	50
274	Ac	Asp	D-Val	lle	Val	Н	Cys;	-
and 275	Ac			Chg	Val	О СН2ОН	Acca.	-

74. (Amended) A compound of formula (I):

wherein B, P6, P5, P4, P3, W and P1 are as defined below, said compound selected from the group consisting of:

Comp	В	P6	P5	P4	P3	W	P1	SEQ ID NO.
301	Ac	Asp	Asp	lle	Val	O 1444.	Nva; _e	51
302	Ac	Asp	Asp	lle	Val	Me Zzz	Nva;	52
303	Ac	Asp	Asp	lle	Val	H H		53
and 304	Ac			Chg	Val	Bn-C N C(O)	Acca.	-

76. (Amended) A compound of formula (I):

wherein B, P6, P5, P4, P3, R_{13} , R_{14} and P1 are as defined below, said compound selected from the group consisting of:

m 1 5	D	P6	P5	P4	P3	R ₁₃	R ₁₄	P1
Tab 5	В	ro	rs	17		13		$C_1 - C_2$
Cpd 501	Ac			Chg	Val	OBn	Et	1R, 2R
502	Ac			Chg	Val	OBn	Et	1R, 2?
503	$\frac{Ac}{Ac}$			Chg	Chg	1-NpCH ₂ O	Et_	1R, 2?
504	Ac			Chg	Chg	1-NpCH ₂ O	Et	1R, 2?
505	Ac			Chg	Chg	1-NpCH ₂ O	Et	1R, 2R
506	Ac			Chg	Chg	1-NpCH ₂ O	Et	1S, 2S
507	Ac			Chg	Val	1-NpCH ₂ O	Me	1R, 2?
508	Ac			Chg	Val	1-NpCH ₂ O	$CHMe_2$	1R, 2?
509	Ac	Asp	D-GLU	Chg	Chg	1-NpCH ₂ O	Et	1R, 2R
510	Ac			Chg	Val	1-NpCH ₂ O	CH ₂ O CH ₂ Ph	1R, 2?
511	Ac			Chg	Val	1-NpCH ₂ O	CH ₂ O CH ₂ Ph	1R, 2?
512	Ac			Chg	Val	1-NpCH ₂ O	(CH ₂) ₂ Ph	1R, 2?
513	Ac			Chg	Val	1-NpCH ₂ O	Et_	1R,2R
514	Ac			Chg	Val	1-NpCH ₂ O	Et_	1S,2S
515	Ac			Chg	Val	1-NpCH ₂ O	Bz	1R, 2?
516	Ac			Chg	Val	1-NpCH ₂ O	Bz	1R, 2?
517	Ac	Asp	D-GLU		Val	OBn	Et	1R,2R
518	Ac	Asp	D-GLU		Val	1-NpCH ₂ O	Et	1R,2R
519	Ac			Chg	Val	1-NpCH ₂ O	Pr	1R, 2?
520	$\frac{1}{Ac}$			Chg	Val	1-NpCH ₂ O	Pr	1R, 2?
521	Ac	Asp	D-VAI		Val	1-NpCH ₂ O	Et	1R,2R
522	Ac			Chg	Val		vinyl	1S.2R
523	Ac			Chg	Val		ethyl	1R,2S
524	Ac			Chg	Val		propy	1 1R, 2R

REMARKS

The specification and claims have been amended to insert the appropriate Sequence ID Nos. next to the particular amino acid sequences that are listed in the attached Sequence Listing.